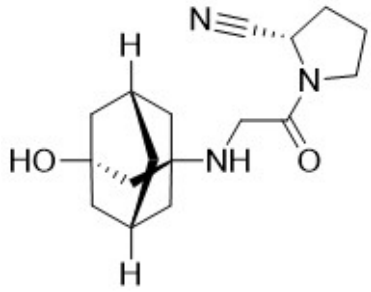
Product data sheet

MedKoo Cat#: 315140 Name: Vildagliptin CAS#: 274901-16-5 Chemical Formula: $C_{17}H_{25}N_3O_2$ Exact Mass: 303.19468 Molecular Weight: 303.41	
Product supplied as:	Powder
Purity (by HPLC):	≥ 98%
Shipping conditions	Ambient temperature
Storage conditions:	Powder: -20°C 3 years; 4°C 2 years.
	In solvent: -80°C 3 months; -20°C 2 weeks.

1. Product description:

Vildagliptin (also known as LAF237 and Zomelis) is an oral anti-hyperglycemic agent (anti-diabetic drug) of the new dipeptidyl peptidase-4 (DPP-4) inhibitor class of drugs. Vildagliptin inhibits the inactivation of GLP-1 and GIP by DPP-4, allowing GLP-1 and GIP to potentiate the secretion of insulin in the beta cells and suppress glucagon release by the alpha cells of the islets of Langerhans in the pancreas. Vildagliptin has been shown to reduce hyperglycemia in type 2 diabetes mellitus. Novartis has since withdrawn its intent to submit vildagliptin to the FDA, as of July 2008. T it was approved in Feb 2008 by European Medicines Agency for use within the EU and is listed on the Australian PBS with certain restrictions.

2. CoA, QC data, SDS, and handling instruction

SDS and handling instruction, CoA with copies of QC data (NMR, HPLC and MS analytical spectra) can be downloaded from the product web page under "QC And Documents" section. Note: copies of analytical spectra may not be available if the product is being supplied by MedKoo partners. Whether the product was made by MedKoo or provided by its partners, the quality is 100% guaranteed.

3. Solubility data

Solvent	Max Conc. mg/mL	Max Conc. mM
DMSO	38.0	125.24
H2O	55.0	181.27

4. Stock solution preparation table:

Concentration / Solvent Volume / Mass	1 mg	5 mg	10 mg
1 mM	3.30	16.48	32.96
5 mM	0.66	3.30	6.59
10 mM	0.33	1.65	3.30
50 mM	0.07	0.33	0.66

5. Molarity Calculator, Reconstitution Calculator, Dilution Calculator

Please refer the product web page under section of "Calculator"

6. Recommended literature which reported protocols for in vitro and in vivo study

In vitro study

1. Suzuki T, Tada Y, Gladson S, Nishimura R, Shimomura I, Karasawa S, Tatsumi K, West J. Vildagliptin ameliorates pulmonary fibrosis in lipopolysaccharide-induced lung injury by inhibiting endothelial-to-mesenchymal transition. Respir Res. 2017 Oct 16;18(1):177. doi: 10.1186/s12931-017-0660-4. PMID: 29037205; PMCID: PMC5644255.
2. Bi J, Cai W, Ma T, Deng A, Ma P, Han Y, Lou C, Wu L. Protective effect of vildagliptin on TNF-α-induced chondrocyte senescence. IUBMB Life. 2019 Jul;71(7):978-985. doi: 10.1002/iub.2049. Epub 2019 Apr 26. PMID: 31026379.

In vivo study

1. Suzuki T, Tada Y, Gladson S, Nishimura R, Shimomura I, Karasawa S, Tatsumi K, West J. Vildagliptin ameliorates pulmonary fibrosis in lipopolysaccharide-induced lung injury by inhibiting endothelial-to-mesenchymal transition. Respir Res. 2017 Oct 16;18(1):177. doi: 10.1186/s12931-017-0660-4. PMID: 29037205; PMCID: PMC5644255.
2. Zhang Q, Xiao X, Li M, Yu M, Ping F, Zheng J, Wang T, Wang X. Vildagliptin increases butyrate-producing bacteria in the gut of diabetic rats. PLoS One. 2017 Oct 16;12(10):e0184735. doi: 10.1371/journal.pone.0184735. PMID: 29036231; PMCID: PMC5643055.

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7. Bioactivity

Biological target:

Vildagliptin (LAF237) is a potent, stable, selective dipeptidyl peptidase IV (DPP-IV) inhibitor with an IC50 of 3.5 nM in human Caco-2 cells.

In vitro activity

To evaluate the direct efficacy of vildagliptin in inhibiting LPS-induced EndMT in HMVEC-Ls, in vitro experiments were conducted to test whether vildagliptin inhibited EndMT in the absence of immune cells or GLP-1.While LPS exposure induced morphological change to a spindle-shaped phenotype, vildagliptin treatment attenuated the degree (Fig. 4a). In addition, FCM analyses revealed that vildagliptin treatment decreased upregulation of α-SMA and S100A4 expression in HMVEC-Ls (Fig.4b). Immunocytochemistry also showed an increase in the number of α-SMA+-HMVEC-Ls 144 h after LPS challenge, whereas vildagliptin suppressed this increase. Since GLP-1 is produced and secreted by intestinal enteroendocrine epithelial cells, the experiments performed in vitro using a single vascular cell type provided results independent of GLP-1 participation. These data demonstrated that vildagliptin can attenuate the mesenchymal transition of endotoxin-treated PVECs partly independent of GLP-1 (Fig.4c). Next, it was evaluated if vildagliptin attenuated ROS production in HMVEC-Ls independent of GLP-1. Interestingly, expression of ROS was significantly decreased in LPS-HMVEC-Ls treated with vildagliptin in the absence of GLP-1 (Fig.5b). Vildagliptin might play a beneficial role in ameliorating pulmonary fibrosis by inhibiting EndMT even in the absence of GLP-1.

Respir Res. 2017; 18: 177. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5644255/

In vivo activity

This study aimed to identify whether vildagliptin modifies the gut microbiota structure during T2D treatment. Diabetic Sprague-Dawley (SD) rats were induced by a high-fat diet and streptozotocin injection (HFD/STZ). Diabetic rats were orally administered a low dose of vildagliptin (LV, 0.01 g/kg/d vildagliptin), high dose of vildagliptin (HV, 0.02 g/kg/d vildagliptin), or normal saline for 12 weeks. Fasting blood glucose, blood glucose after glucose loading, and serum insulin levels were significantly reduced in the LV and HV groups compared with those in the T2D group. The serum GLP-1 level increased more in the vildagliptin-treated group than in the T2D group. Pyrosequencing of the V3-V4 regions of 16S rRNA genes revealed that vildagliptin significantly altered the gut microbiota. The operational taxonomic units (OTUs) and community richness (Chao1) index were significantly reduced in the vildagliptin and diabetic groups compared with those in the control group. At the phylum level, a higher relative abundance of Bacteroidetes, lower abundance of Firmicutes, and reduced ratio of Fimicutes/Bacteroidetes were observed in the vildagliptin-treated group. Moreover, vildagliptin treatment increased butyrate-producing bacteria, including Baceroides and Erysipelotrichaeae, in the diabetic rats. In conclusion, vildagliptin treatment could benefit the communities of the gut microbiota.

PLoS One. 2017; 12(10): e0184735. https://www.ncbi.nlm.nih.gov/pmc/articles/PMC5643055/